

Claims

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- 09031816-073004
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1. A method of producing L-prolyl-L-m-sarcosyl-L-p-fluorophenylalanine, a lower alkyl ester and/or acid addition salts thereof, wherein L-p-fluorophenylalanine with a protected carboxyl group is caused to
5 react with L-m-sarcosine with a protected amino group and an activated carboxy group, L-m-sarcosyl-L-p-fluorophenylalanine with a protected amino group and with a protected carboxy group being obtained, and subsequently the amino protection group is removed, afterwards the obtained L-m-sarcosyl-L-p-fluorophenylalanine with a protected carboxy group is caused to react with
10 proline with a protected amino group and an activated carboxy group, L-prolyl-L-m-sarcosyl-L-p-fluorophenylalanine with a protected amino group being obtained, and the amino protection group being removed, and the lower alkyl ester group being optionally removed or converted into another ester group and/or the compound obtained being converted into an acid addition salt.
 - 15 2. The method according to claim 1, wherein the condensation is carried out with cooling in an anhydrous medium, e.g. in chloroform.
 3. The method according to claim 1 or 2, wherein the activated carboxy groups were activated through treatment with dicyclohexylcarbodiimid.
 4. The method according to one of the claims 1 to 3, wherein the
20 carboxy protection group of L-p-fluorophenylalanine is a lower alkyl ester group, preferably an ethyl ester group.
 5. The method according to one of the claims 1 to 4, wherein the amino protection group of the L-m-sarcosine is a carbobenzoxy group.
 - 25 6. The method according to one of the claims 1 to 5, wherein the removal of the amino protection group of the L-m-sarcosyl-L-p-fluorophenylalanine with a protected amino group is carried out through treatment with hydrogen bromide in glacial acetic acid.

7. The method according to one of the claims 1 to 6, wherein the removal of the amino protection group of the L-prolyl-L-m-sarcosyl-L-p-fluorophenylalanine with a protected amino group *<is carried out>* through reduction with hydrogen in the presence of palladium on carbon.

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